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(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

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2 May 2002

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 01/90121 A3

(54) Title: METHODS AND COMPOSITIONS FOR TREATING HEPATITIS C VIRUS

(57) Abstract: A method and composition for treating a host infected with hepatitis C comprising administering an effective hepatitis C treatment amount of a described 1', 2' or 3'-modified nucleoside or a pharmaceutically acceptable salt or prodrug thereof, is provided.

INTERNATIONAL SEARCH REPORT

In. ational Application No
PCT/US 01/16671

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07H19/06 C07H19/10 C07H19/16 C07H19/20 A61K31/7068
A61K31/7076 A61P31/14

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07H A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, WPI Data, PAJ, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 99 43691 A (CHOI YONGSEOK ;CHU CHUNG K (US); HONG JOON H (US); SHI JUNXING (US) 2 September 1999 (1999-09-02) compounds 30,31 page 11, lines 25-31 the whole document --- -/--	25, 28-39, 52-63, 76, 79-90, 103-114, 127, 130-141, 154-165, 178

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

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"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

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"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
6 February 2002	04 03 2002

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	de Nooy, A

Form PCT/ISA/210 (second sheet) (July 1992)

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	X. MARTIN ET AL.: "Intramolecular hydrogen bonding in primary hydroxyl of thymine 1-(1-deoxy-beta-D-psicofuranosyl) nucleoside" TETRAHEDRON, vol. 50, 1994, pages 6689-6694, XP002176339	4,7,10, 23
Y	page 6689, introduction figure 1	25,28, 31,34, 37,52, 55,58, 61,76, 79,82, 85,88, 103,106, 109,112, 127,130, 133,136, 139,154, 157,160, 163,178

X	E. ROGERS ET AL.: "2'C-alkylribonucleosides: design, synthesis, and conformation" NUCLEOSIDES & NUCLEOTIDES, vol. 16, 1997, pages 1457-1460, XP002189347	2,5,8, 11,20, 22-24
Y	compounds 8a-f page 1457, paragraph 1	25,29, 32,35, 38,53, 56,59, 62,76, 80,83, 86,89, 104,107, 110,113, 127,131, 134,137, 140,155, 158,161, 164,178

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
X Y	GB 1 209 654 A (MERCK & CO INC) 21 October 1970 (1970-10-21) page 2 lines 17-19 the whole document	5,6,8,9, 11,12 25,30, 33,36, 39,54, 57,60, 63,76, 81,84, 87,90, 105,108, 111,114, 127,132, 135,138, 141,156, 159,162, 165,178
X	J. FARKAS, F. SORM: "Nucleic acids components and their analogues. XCIV. Synthesis of 6-amino-9-(1-deoxy-beta-D-psicofuranosyl)purine" COLLECTION CZECHOSLOV. CHEM. COMM., vol. 32, 1967, pages 2663-2667, XP001016337 cited in the application structure I and III	1,7,10, 14
X	H. HREBABECKY, J. FARKAS: "Synthesis of 7- and 9-beta-D-psicofuranosylguanine and their 1'-deoxy derivatives" COLLECTION CZECHOSLOV. CHEM. COMM., vol. 39, 1974, pages 2115-2123, XP002176340 compound VIII page 2116	1,7,10, 13
X	WOLFE M S ET AL: "A Concise Synthesis of 2'-C-Methylribonucleosides" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 36, no. 42, 16 October 1995 (1995-10-16), pages 7611-7614, XP004027097 ISSN: 0040-4039 compounds 5a-d, SMDC, SMIU	2,5,8, 11,20,24
X	P. FRANCHETTI ET AL.: "2'-C-Methyl analogues of selective adenosine receptor agonists: Synthesis and binding studies" J. MED. CHEM., vol. 41, 1998, pages 1708-1715, XP002189348 compounds 4-9,12,13	2,8,11, 20

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
X	FR 1 521 076 A (MERCK & CO INC) 12 April 1968 (1968-04-12) the whole document	2,8,11
X	OIVANEN M ET AL: "ADDITIONAL EVIDENCE FOR THE EXCEPTIONAL MECHANISM OF THE ACID-CATALYSED HYDROLYSIS OF 4-OXOPYRIMIDINE NUCLEOSIDES: HYDROLYSIS OF 1-(1-ALKOXYALKYL)URACILS, SECONUCLEOSIDES, 3'-C-ALKYL NUCLEOSIDES AND NUCLEOSIDE 3',5'-CYCLIC MONOPHOSPHATES" JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 2, CHEMICAL SOCIETY. LETCHWORTH, GB, vol. 2, 1994, pages 309-314, XP000886596 ISSN: 1472-779X compounds 14a-c	3,6,9,12
X	GB 1 163 103 A (MERCK & CO INC) 4 September 1969 (1969-09-04) the whole document	3,9,12
X	S.P. ONG ET AL.: "Synthesis of 3'-C-methyladenosine and 3'-C-methyluridine diphosphates and their interaction with the ribonucleoside diphosphate reductase from Corynebacterium nephridii" BIOCHEMISTRY, vol. 31, 1992, pages 11210-11215, XP002189349 compounds 8-14	3,6,9,12
X	L.N. BEIGELMAN ET AL. : "Epimerization during acetolysis of 3-O-acetyl-5-O-benzoyl-1,2-O-isopropyliden e-3-C-methyl-alfa-D-ribofuranose." CARBOHYDRATE RESEARCH, vol. 181, 1988, pages 77-88, XP002189350 compounds 13-15	3,6,9,12
X	H. HREBABECKY ET AL.: "Nucleic acid components and their analogues. CXLIX. Synthesis of pyrimidine nucleosides derived from 1-deoxy-D-psicose" COLLECTION CZECHOSLOV. CHEM. COMM., vol. 37, 1972, pages 2059-2065, XP002176338 compound I,II,III page 2060	4,7,10, 17,23,24

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
X	A. GROUILLER ET AL.: "Novel p-toluenesulfonylation and thiocarbonylation of unprotected thymine nucleosides" SYNLETT, 1993, pages 221-222, XP002189351 comound 1 ---	4,7,10, 17
X	S.N. MIKHAILOV ET AL.: "Hydrolysis of 2'- and 3'-c-methyluridine 2',3'-monophosphates and interconversion and dephosphorylation of the resulting 2'- and 3'-monophosphates: Comparison with the reactions of uridine monophosphates" J. ORG. CHEM., vol. 57, 1992, pages 4122-4126, XP002189352 compounds 2-5 ---	5,6,8,9, 11,12,24
X	MATSUDA A ET AL: "Nucleosides and nucleotides. 94. Radical deoxygenation of tert-alcohols in 1-(2-C-alkylpentafuranosyl)pyrimidines: Synthesis of (2'S)-2'-deoxy-2'-C-methylcytidine, an antileukemic nucleoside" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 34, 1991, pages 234-239, XP002178370 ISSN: 0022-2623 compounds 1i,j,4a,b,7,8,13,17 ---	5,8,11, 22
X	E. WALTON ET AL.: "Branched-chain sugar nucleosides. V. Synthesis and antiviral properties of several branched-chain sugar nucleosides" J. MED. CHEM., vol. 12, 1969, pages 306-309, XP002189353 compounds 5,6,10,12,14,16-18 ---	5,6,8,9, 11,12
X	V.L. TUNITSKAYA ET AL.: "Substrate properties of C'-methyl UTP derivatives in T7 RNA polymerase reactions. Evidence for N-type NTP conformation" FEBS LETTERS, vol. 400, 1997, pages 263-266, XP002189354 compounds 3 and 4 --- -/—	5,6,8,9, 11,12

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
X	A. MATSUDA ET AL.: "Radical deoxygenation of tert-alcohols in 2'-branched-chain sugar pyrimidine nucleosides: synthesis and antileukemic activity of 2'-deoxy-2'(S)-methylcytidine" CHEM. PHARM. BULL., vol. 35, 1987, pages 3967-3970, XP002189355 compounds 3b,7,15 ---	5,8,11, 22
X	A. MATSUDA ET AL.: "Alkyl addition reaction of pyrimidine 2'-ketonucleosides: synthesis of 2'-branched-chain sugar pyrimidine nucleosides" CHEM. PHARM. BULL., vol. 36, 1988, pages 945-953, XP002189356 compounds 13a,b,19a,b,20a,b ---	5,8,11, 22
X	ALTMANN ET AL: "The effects of 2'- and 3'-alkyl substituents on oligonucleotide hybridization and stability" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 4, no. 16, 1994, pages 1969-1974, XP002105090 ISSN: 0960-894X compounds 2,9,10 ---	6,8,9
X	L.N. BEIGELMAN ET AL.: "A general method for synthesis of 3'-alkylnucleosides" NUCLEIC ACIDS SYMP. SER., vol. 9, 1981, pages 115-118, XP001059721 page 116 ---	6,9,12
X	S.N. MIKHAILOV ET AL.: "Synthesis and properties of 3'-C-methylnucleosides and their phosphoric esters" CARBOHYDRATE RESEARCH , vol. 124, 1983, pages 75-96, XP002189357 compounds 9,12,14,20,21 ---	6,9,12
X	Y. ITOH ET AL.: "Divergent stereocontrolled approach to the synthesis of uracil nucleosides branched at the anomeric position" J. ORG. CHEM., vol. 60, 1995, pages 656-662, XP002189358 compounds 22,23,31 --- -/--	7,10

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
X	FAIVRE-BUET V ET AL: "SYNTHESIS OF 1'-DEOXYPSICOFURANOSYL-DEOXYNUCLEOSIDES AS POTENTIAL ANTI-HIV AGENTS" NUCLEOSIDES & NUCLEOTIDES, DEKKER, NEW YORK,NY,, US, vol. 11, no. 7, 1992, pages 1411-1424, XP001025527 ISSN: 0732-8311 compounds 1-3 ---	7,10
X	SERAFINOWSKI P J ET AL: "NEW METHOD FOR THE PREPARATION OF SOME 2'- AND 3'-TRIFLUOROMETHYL- 2',3'-DIDEOXYURIDINE DERIVATIVES" TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 56, no. 2, 1999, pages 333-339, XP001050335 ISSN: 0040-4020 Scheme 1 ---	8,9,11, 12
X	HARAGUCHI K ET AL: "PREPARATION AND REACTIONS OF 2'- AND 3'-VINYL BROMIDES OF URACIL-NUCLEOSIDES: VERSATILE SYNTHONS FOR ANTI-HIV AGENTS" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 32, no. 28, 1991, pages 3391-3394, XP001041740 ISSN: 0040-4039 compounds 14,21 ---	8,9
X	S.N. MIKHAILOV ET AL.: "Substrate properties of C'-methylnucleoside and C'-methyl-2'-deoxynucleoside 5'-triphosphates in RNA and DNA synthesis reactions catalysed by RNA and DNA polymerases" NUCLEOSIDES & NUCLEOTIDES, vol. 10, 1991, pages 339-343, XP001059775 compounds 3b,d,4b,d ---	8,9,11, 12
X	AKIRA MATSUDA ET AL: "NUCLEOSIDES AND NUCLEOTIDES 104. RADICAL AND PALLADIUM-CATALYZED DEOXYGENATION OF THE ALLYLIC ALCOHOL SYSTEMS IN THE SUGAR MOIETY OF PYRIMIDINE NUCLEOSIDES" NUCLEOSIDES & NUCLEOTIDES, DEKKER, NEW YORK,NY,, US, vol. 11, no. 2/4, 1992, pages 197-226, XP000573757 ISSN: 0732-8311 compounds 28,31 ---	8,9
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	T. IINO ET AL.: "Nucleosides and nucleotides. 139. Stereoselective synthesis of (2'S)-2'-C-alkyl-2'-deoxyuridines" NUCLEOSIDES & NUCLEOTIDES, vol. 15, 1996, pages 169-181, XP002189359 compound 9b	8,11
X	SHARMA P K ET AL: "SYNTHESIS OF 3'-TRIFLUOROMETHYL NUCLEOSIDES AS POTENTIAL ANTIVIRAL AGENTS" NUCLEOSIDES, NUCLEOTIDES AND NUCLEIC ACIDS, MARCEL DEKKER, ANN HARBOR, MI, US, vol. 19, no. 4, 2000, pages 757-774, XP001050475 ISSN: 1525-7770 compounds 17,19	8,11
X	J.-C. WU, J. CHATTOPADDYAYA: "A new stereospecific synthesis of '3.1.0! bicyclic cyclopropano analog of 2',3'-dideoxyuridine" TETRAHEDRON, vol. 46, 1990, pages 2587-2592, XP002189360 compound 16	8
X	V. SAMANO, M.J. ROBBINS: "Synthesis and radical-induced ring-opening reactions of 2'-deoxyadenosine-2'-spirocyclopropane and its uridine analogue. Mechanistic probes for ribonucleotide reductases" J. AM. CHEM. SOC., vol. 114, 1992, pages 4007-4008, XP002189361 compounds 8 and 10	8
X	V. SAMANO, M.J. ROBINS: "Nucleic acid related compounds. 77." CAN. J. CHEM., vol. 71, 1993, pages 186-191, XP002189362 compounds 7,14	8,9
X	C.R. JOHNSON, D.R. BHUMRALKAR: "3'-C-Trifluoromethyl ribonucleosides" NUCLEOSIDES & NUCLEOTIDES, vol. 14, 1995, pages 185-194, XP002189363 compounds 7,9,11,12	9,12

-/--

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication.where appropriate, of the relevant passages	Relevant to claim No.
X	S. LAVAIRE ET AL.: "3'-Deoxy-3'-C-trifluoromethyl nucleosides: synthesis and antiviral evaluation" NUCLEOSIDES & NUCLEOTIDES, vol. 17, 1998, pages 2267-2280, XP002189364 compound 11 ---	9,12
X	TRITSCH D D ET AL: "3'-beta-ethynyl and 2'-deoxy-3'-beta-ethynyl adenosines: first 3'-beta-branched-adenosines substrates of adenosine deaminase" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 10, no. 2, January 2000 (2000-01), pages 139-141, XP004188802 ISSN: 0960-894X compound 3 ---	9,12
X	I.I. FEDEROV ET AL.: "3'-C-Branched 2'-deoxy-5-methyluridines: Synthesis, enzyme inhibition, and antiviral properties" J. MED. CHEM., vol. 35, 1992, pages 4567-4575, XP002189365 compounds 12-14,16,17,19 ---	9,12
X	S. CZERNECKI, A. EZZITOUNI: "Synthesis of various 3'-branched 2',3'-unsaturated pyrimidine nucleosides as potential anti-HIV agents" J. ORG. CHEM., vol. 57, 1992, pages 7325-7328, XP002189366 compound 1 ---	9
X	H. HATTORI ET AL.: "Nucleosides and nucleotides. 175." J. MED. CHEM., vol. 41, 1998, pages 2892-2902, XP002189367 Compounds 14-17d ---	9,12
X	FR 2 662 165 A (UNIV PARIS CURIE) 22 November 1991 (1991-11-22) example 16 --- -/--	9

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
X	A. ROSENTHAL, S.N. MIKHAILOV: "Branched-chain sugar nucleosides. Synthesis of 3'-C-ethyl (and 3'-C-butyl)uridine" CARBOHYDRATE RESEARCH, vol. 79, 1980, pages 235-242, XP002189368 compounds 12-15 ---	9,12
X	K. HARAGUCHI ET AL.: "Stereoselective synthesis of 1'-C-branched uracil nucleosides from uridine" NUCLEOSIDES & NUCLEOTIDES, vol. 14, 1995, pages 417-420, XP002189369 compounds 17,18 ---	10
X	ALTMANN ET AL: "The synthesis of 1'-methyl carbocyclic thymidine and its effect on nucleic acid duplex stability" SYNLETT, THIEME VERLAG, STUTTGART, DE, no. 10, October 1994 (1994-10), pages 853-855, XP002105092 ISSN: 0936-5214 compound 1 ---	10
X	M. KAWANA ET AL.: "The deoxygenations of tosylated adenosine derivatives with Grignard reagents" NUCLEIC ACIDS SYMP. SER., vol. 17, 1986, pages 37-40, XP001059719 compound 13 ---	11
X	K. WALCZAK, E.B. PEDERSEN: "Synthesis of 1-(3-alkyl-2,3-dideoxy-D-pentofuranosyl)uracils with potential anti-HIV activity" ACTA CHEM. SCAND., vol. 45, 1991, pages 930-934, XP002189370 compound 10c ---	12
X	H. USUI, T. UEDA: "Synthesis of 2'-deoxy-8,2'-ethanoadenosine and 3'-deoxy-8,3'-ethanoadenosine (Nucleosides and nucleotides. LXIV)" CHEM. PHARM. BULL., vol. 34, 1986, pages 15-23, XP002189371 compound 23 ---	12
A	US 5 977 061 A (DE CLERCQ ERIK DESIRE ALICE ET AL) 2 November 1999 (1999-11-02) column 1 -column 4 column 13, line 6 - line 28 --- -/—	1,130

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	LEYSSEN P ET AL: "PERSPECTIVES FOR THE TREATMENT OF INFECTIONS WITH FLAVIVIRIDAE" CLINICAL MICROBIOLOGY REVIEWS, WASHINGTON, DC, US, vol. 13, no. 1, January 2000 (2000-01), pages 67-82, XP000889854 ISSN: 0893-8512 page 71, right-hand column -page 72, left-hand column ---	1,130
A	BERENGUER M ET AL: "HEPATITIS B AND C VIRUSES: MOLECULAR IDENTIFICATION AND TARGETED ANTIVIRAL THERAPIES" PROCEEDINGS OF THE ASSOCIATION OF AMERICAN PHYSICIANS, BLACKWELL SCIENCE, INC, CAMBRIDGE, MA, US, vol. 110, no. 2, 1998, pages 98-112, XP000885891 ISSN: 1081-650X abstract -----	52,103

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 01/16671

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 79-129 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound.

2. [X] Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

see FURTHER INFORMATION sheet PCT/ISA/210

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

X

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [ ] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

[X] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 7-12, 25-27, 34-39, 58-63, 76-78, 85-90, 109-114, 127-129, 136-141, 160-165, 178-180 (all partially)

The initial phase of the search revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claims may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). For these reasons it appears impossible to execute a meaningful search and/or to issue a complete search report over the whole breadth of the above mentioned claims. Consequently, the search has been restricted to the compounds of the above mentioned claims where R6 is methyl, ethyl, propyl, butyl, CF3 or Br-vinyl. Furthermore, in the case where R6 is methyl for compounds XI, XIV, XVII, or XVIII of the above mentioned claims, only several documents were cited.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1,4,13-18,25-27 (in part),28,31,40-45,52,55,64-69, 76-78 (in part),79,82,91-96,103,106,115-120, 127-129 (in part),130,133,142-147,154,157,166-171, 178 (in part),180 (in part)

   Compounds of Formula I of claim 1 or compounds of Formula IV of claim 4, pharmaceutical compositions and uses pertaining thereto.

2. Claims: 2,5,19-24,25-27 (in part),29,32,46-51,53,56,70-75, 76-78 (in part),80,83,97-102,104,107,121-126, 127-129 (in part),131,134,148-153,155,158,172-177, 178 (in part),179, 180 (in part)

   Compounds of Formula II of claim 2 or compounds of Formula V of claim 5, pharmaceutical compositions and uses pertaining thereto.

3. Claims: 3,6,25-27 (in part),30,33,54,57,76-78 (in part),81, 84,105,108,127-129 (in part),132,135,156,159, 178 (in part),180 (in part)

   Compounds of Formula III of claim 3 or compounds of Formula VI of claim 6, pharmaceutical compositions and uses pertaining thereto.

4. Claims: 7,25-27 (in part),34,58,76-78 (in part),85,109, 127-129 (in part),136,160,178 (in part), 180 (in part)

   Compounds of Formulae VII or VIII or IX of claim 7, pharmaceutical compositions and uses pertaining thereto, where the compounds do not fall within one of the earlier described subjects.

5. Claims: 8,25-27 (in part),35,59,76-78 (in part),86,110, 127-129 (in part),137,161,178 (in part), 180 (in part)

   Compounds of Formulae X or XI or XII of claim 8, pharmaceutical compositions and uses pertaining thereto, where the compounds do not fall within one of the earlier described subjects.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

6. Claims: 9,25-27 (in part),36,60,76-78 (in part),87,111,
127-129 (in part),138,162,178 (in part),
180 (in part)

Compounds of Formulae XIII or XIV or XV of claim 9, pharmaceutical compositions and uses pertaining thereto, where the compounds do not fall within one of the earlier described subjects.

7. Claims: 10, 25-27 (in part),37,61,76-78 (in part),88,112,
127-129 (in part),139,163,178 (in part),
180 (in part)

Compounds of Formula XVI of claim 10, pharmaceutical compositions and uses pertaining thereto, where the compounds do not fall within one of the earlier described subjects.

8. Claims: 11,25-27 (in part),38,62,76-78 (in part),89,113,
127-129 (in part),140,164,178 (in part),
180 (in part)

Compounds of Formula XVII of claim 11, pharmaceutical compositions and uses pertaining thereto, where the compounds do not fall within one of the earlier described subjects.

9. Claims: 12,25-27 (in part),39,63,76-78 (in part),90,114,
127-129 (in part),141,165,178 (in part),
180 (in part)

Compounds of Formula XVIII of claim 12, pharmaceutical compositions and uses pertaining thereto, where the compounds do not fall within one of the earlier described subjects.

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PCT/US 01/16671

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